APPENDIX A

COURT OF CUSTOMS AND PATENT APPEALS

In re SURREY AND LESHER.

Appl. No. 6954

Decided June 20, 1963

Before WORLEY, Chief Judge, and RICH, MARTIN, SMITH, and ALMOND, Associate Judges.

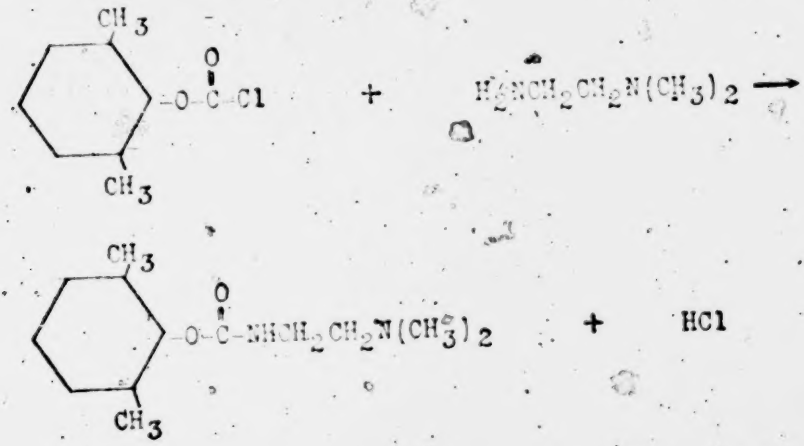
WORLEY, Chief Judge.

This appeal is from the decision of the Board of Appeals, affirming the examiner's rejection of claims 10 through 17 of appellants' application[1] entitled "Aryl N-(Aminoalkyl)-Carbamates and their Preparation" as unpatentable over the prior art. Nine claims were allowed.

The invention relates to (1) certain new carbamates which are useful as local anesthetics, (2) certain new chloroformates which are the intermediates from which the carbamates are prepared and (3) the process of producing the carbamates by reacting the chloroformates with an amine. Illustrative of the invention is the reaction of the intermediate 2,6-dimethylphenyl chloroformate with 2-dimethyl-

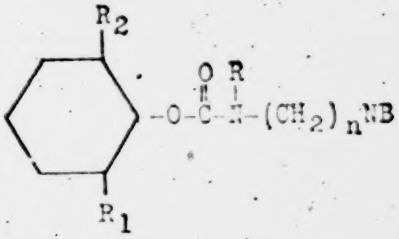
[1] Serial No. 660,456, filed May 21, 1957.

aminoethylamine to make 2,6-dimethylphenyl N-(2-dimethylaminoethyl) carbamate:[2]



Claims 10 and 15 are exemplary of the appealed claims.

10. A process for the preparation of a compound having the structural formula

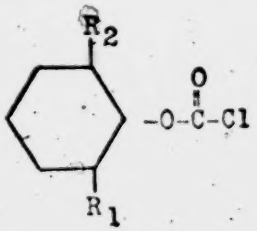


where R is selected from the group consisting of H and CH_3, R_1 and R_2 each represents a member of the group consisting of methyl and ethyl radicals, n represents an integer from 2 to 3 inclusive, and NB is a radical containing from two to twelve carbon atoms and selected from the group consisting of mono-(lower-

[2] This process is claimed in claim 13 and the intermediate in claim 16.

alkyl) amino, monocycloalkylamino, di-(lower-alkyl) amino, 1-piperidyl, (lower-alkylated)-1-piperidyl, 1-pyrrolidyl, (lower-akylated)-1-pyrrolidyl, 4-morpholinyl, 1-piperazyl and alkylated-1-piperazyl radicals, which comprises reacting a chloroformate of the corresponding 2,6-di-(lower-alkyl) phenol with an ethylene-diamine of the formula $RHN(CH_2)nNB$ in which the symbols R, n, and NB each have the respective values above-assigned.

15. A 2,6-dialkylphenyl chloroformate having the structural formula



where R_1 and R_2 each represents a member of the group consisting of methyl and ethyl radicals.

The references relied on are:[3]

Cusic 2,683,735 July 13, 1954
Beilstein, 4th Ed., Vol. 6, pages 487 (1923).

Nine claims drawn to the carbamates were allowed by the examiner "after a showing was presented establishing that there is, for example, an unexpected pharmacological quality possessed by 2,6-dimethylphenyl N-(3)-dimethyl-

[3] The examiner did not describe the references in his Answer, relying for their description on appellants' brief before the board which does not appear in the record. It would be helpful to us if the examiner described the references thoroughly in his Answer so that we might have the benefit of his interpretation and know the exact portions of the references relied on.

aminopropyl) carbamate hydrochloride which is not possessed by 2,4-dimethylphenyl N-(3-dimethylaminopropyl) carbamate hydrochloride. * * * " Rejection of the claims drawn to the process of preparing the carbamates and to the intermediate chloroformate compounds is succinctly stated in the examiner's Answer as follows:

> Claims 10-14, drawn to process, are rejected as being unpatentable over the patent to Cusic which discloses a classic method of preparing carbamic acid esters by the reaction of an amine and a chloroformate. This process is considered obvious in the preparation of the carbamic acid esters on appeal and only the expected result is revealed in applicants' use of this process to prepare the compounds of the allowed product claims. Application of an old process to different but analogous material is not inventive. . . .
>
> Claims 15-17, drawn to intermediary products, are rejected as being unpatentable over the reference to Beilstein which discloses 2,4 dimethylphenyl chloroformate, an isomer of the claimed intermediates.

The board affirmed the rejection of claims 10-14 "for the reasons set forth" by this court in In re Larsen, 49 CCPA 711, 292 F.2d 531, 130 USPQ 209. It affirmed the rejection of claims 15-17, stating that each claim must be considered on its own merits and that unexpected pharmacological properties in a final product do not provide a proper basis for allowance of claims to the intermediate which has been rejected over a prior art isomer, since such a showing does not provide evidence that the intermediate itself possesses any new or unobvious property.

We have two distinct issues here: whether the intermediates were properly rejected as unpatentable over the Beilstein reference, and whether the process claims were properly rejected as unpatentable over the Cusic patent.

With respect to the rejection of claims 15-17 drawn to the intermediate chloroformate compounds, appellants con-

tend only that evidence of the unobvious local anesthetic action possessed by the final products (carbamates) made directly from the intermediates (chloroformates) is sufficient to prove patentability according to the standard set forth in Parker v. Marzall, 92 F. Supp. 736, 86 USPQ 446 (D.C., D.C.).

If the structural similarity between the reference compound and that of the claimed compound establishes a prima facie case of obviousness, then appellants can prevail only if they overcome such prima facie case. Hence, two separate questions are involved: (1) whether a prima facie case of obviousness has been established, and, if so, (2) whether the affidavits presented are sufficient to overcome the prima facie case of obviousness.

The Beilstein reference discloses the compound 2,4-dimethylphenyl chloroformate which the examiner characterized as an isomer of 2,6-dimethylphenyl chloroformate, the compound in claim 16. Appellants point out that the prior art compound is the homolog of the isomer of 2,6-diethylphenyl chloroformate, the compound of claim 17. The examiner supports his holding of obviousness over the Beilstein disclosure with the following rationale:

> • • • Generally, chloroformates are formed by reacting phosgene with xylenols (the hydroxy derivatives of xylenes). *All of the isomeric xylenols are well known in the art.* The chloroformate ester of a 2,4- rather than a 2,6-xylenol is disclosed by Beilstein. • • • (Italics supplied).

Appellants do not challenge the correctness of that reasoning nor the apparent obviousness of the claimed compound; but merely contend that the affidavits of record are sufficient to rebut the examiner's holding. There is no question that the two affidavits concern only the properties of the carbamates and in no way consider the properties of the claimed chloroformates. Under such circumstances we find the affidavits insufficient because they do not relate

to the compounds in issue. The properties of the carbamates are inherent in those compounds, and not in any of the reactants from which they may have been prepared. See our concurrent decision in In re Druey,[4] 50 CCPA —, — F.2d —, 138 USPQ 39. [Appendix B] The rejection of claims 15-17 is *affirmed*.

The Cusic reference discloses a process for preparing carbamate acid esters (carbamates) by heating an aryl chloroformate with an alkalene-diamine in an inert organic solvent. The examiner characterized that reaction as being "a classic method of preparing carbamic acid esters." Appellants admit that Cusic discloses the same type of reaction as claimed, but is applied to different though "somewhat analogous starting materials." They urge the following proposition:

> Claims to a novel process which utilizes a known type reaction for making a patentable final product, ought be allowed where either (a) the final product made by the process is patentable due to non-obvious differences from prior art of the molecular structure, or (b) the principal starting material was unknown at the time the claimed process was invented. Points (a) and (b) serve to distinguish the facts from those of In re Larsen.

Appellants state in their brief that in Larsen this court found:

> "The compounds reacted are conceded to be old"—and—" * * * the allowance of the claims to the compounds (by the examiner) was based on the fact that they possessed unique, and presumably unexpected properties."

Those statements, quoted out of context, do not represent the reasons for the decision in that case. Larsen held that even though the compounds themselves were patent-

[4] Patent Appeal No. 6895.

able, the processes by which they were prepared may or may not be obvious, adding further (130 USPQ at 210) that:

> In view of the obviously close parallel between the claimed processes and those of the references, we agree with the conclusion of the Patent Office that if the compound is recited in appellant's allowed claims were disclosed in the prior art it would be obvious to a person of ordinary skill in the art to prepare them by the processes set forth in the appealed claims. * * *

"It is well settled that the allowability of one set of claims cannot be predicated on the patentability of other claims in the same application." In re Touvay, 46 CCPA 823, 264 F.2d 901, 121 USPQ 265. Claims to a *method* of preparing a chemical compound must be found patentable independently of claims to the compound itself.

If the instant process claims are patentable, they must themselves be unobvious over the prior art. Whatever may have been the reasons for allowance of claims to the carbamate products, whether because of unobvious structure or property, those unobvious features are inherent in the carbamates themselves, and *not* in the method of their preparation, or in the reactants from which they are produced.

Here we have process claims which define simply "reacting" a particular chloroformate with a particular amine to produce a particular carbamate. That type of reaction is admittedly old. The appealed claims recite no unobvious or critical limitation which might serve to distinguish them from the prior art. The only distinction is that the particular chloroformates, and consequently the resulting carbamates, are new. Mere novelty of one of the reactants, which is the only novelty alleged here, does not render an otherwise conventional chemical process patentable, since it does not impart anything to the process which is unobvious to one skilled in the art. It constitutes no more

than an obvious application of an old process to new compounds. From the point of view of the chemical reaction and the reactive groups concerned, the same reaction takes place. We do not find the fact that one of the reactants here is novel but obvious, while the reactants were old in Larsen; sufficient to convince us that a different result from that decision should be reached here. The substitution of the novel chloroformate into the old reaction seems to have produced only expected results. The rejection of claims 10-14 is also *affirmed*.

SMITH, Judge, dissenting.

I disagree with the result reached by the majority as to both the process claims and the product claims.

Process claims 10-14 are not, in my opinion, governed by what the board has found to be "the reasons set forth" by this court in In re Larsen, 49 CCPA 711, 292 F.2d 531, 130 USPQ 209. The present case presents a different factual situation from that in Larsen. In Larsen, the reactants in the process were *old*. Here, to the contrary, a *novel* reactant material is called for in the process of claims 10-14. The appealed process claims cover a process in which, at the time the invention was made, (1) the end product was *unknown*, and (2) one of the reactants in the process was *unknown*. The claimed process thus requires selection of two starting materials, (one of which was *unknown* in such a process at the time the invention was made), to make a product, (which also was *unknown* at the time the invention was made). The claimed process thus requires two *differences* over the prior art as shown by the Cusic reference. These *differences* in my opinion are not obvious within the meaning of 35 U.S.C. 103. I would, therefore, reverse the rejection of the process claims.

As to the product claims 15-17, I dissent from the majority for the reasons stated in my dissent in In re Deuel et al., 50 CCPA —, — F.2d —, 138 USPQ —. [Appendix B].

APPENDIX B

COURT OF CUSTOMS AND PATENT APPEALS

In re DRUEY AND SCHMIDT

Appl. No. 6895

Decided June 20, 1963

Before WORLEY, Chief Judge, and RICH, MARTIN, SMITH, and ALMOND, Associate Judges.

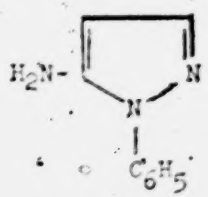
WORLEY, Chief Judge.

Appellants seek reversal of the decision of the Board of Appeals which affirmed the examiner's rejection of one claim in appellants' application[1] entitled "New Amino Benzene Sulfonamide," as unpatentable over the prior art. Six claims directed to the method of producing the compound, defined by the rejected claim, were allowed.

The remaining claim reads:

> 11. A member selected from the group consisting of 3-amino-2-phenyl pyrazole and acid addition salts thereof.

The invention, which is used as an intermediate in the preparation of a sulfa drug, has the following structural formula:



(I)

According to undisputed facts, applicants first disclosed the claimed intermediate in a parent application[2] in which

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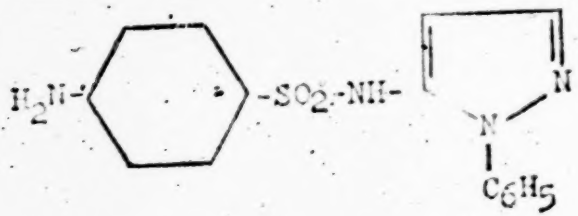
[1] Serial No. 702,540, filed December 13, 1957.

[2] Serial No. 656,503, filed May 2, 1957, which has matured into U.S. Patent No. 2,858,308, issued on October 28, 1958, in which only the sulfa drug is claimed.

they also claimed the sulfa drug. As a result of a restriction requirement by the examiner, the instant continuation-in-part application was filed. The sole use disclosed in the specification for the claimed compound is as an intermediate for the preparation of the now patented sulfa drug: 3-(p-aminobenzene sulfonamido)-2-phenyl-pyrazole having the structural formula:



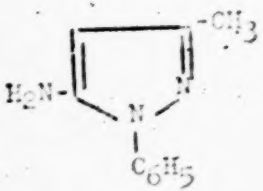
(II)

No other use for said compound is disclosed in the record.

The reference relied on is:

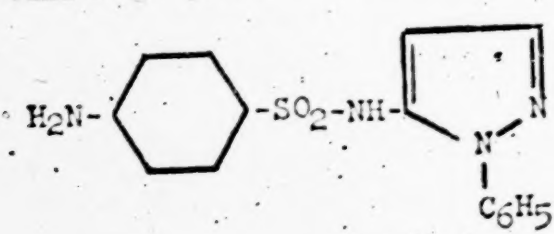
French Patent, 872,801, February 23, 1942.

It is not disputed that the French patent discloses the compound



(III)

and teaches that compound III can be used to prepare the sulfa drug represented by the formula:



(IV)

For convenience we shall identify the compounds by Roman numerals.

The examiner rejected the claimed compound I on compound III of the French patent, considering them to be adjacent homologues, stating:

> Ordinarily a homologue is unpatentable over the old compound in the absence of a showing of unexpected properties in the use disclosed * * *.

During the prosecution of the parent application, sulfa drug II was rejected over sulfa drug IV of the French patent; however, the examiner withdrew that rejection after applicants presented the affidavit of one Dr. Neipp. Consequently, compound II was patented. In an effort to show the unexpected properties of the instantly claimed compound I, applicants submitted the *same* affidavit which they had used in the parent case. That affidavit shows that applicants' sulfa drug, compound II, made from claimed compound I, had a higher and longer lasting chemotherapeutic effect, as well as a much stronger activity against streptococcal sepsis, than the sulfa drug of the French patent, compound IV, which had been made from reference compound III.

The examiner, in commenting on the affidavit, stated:

> The subject matter of the affidavit concerning the sulfa drug is considered too remote to bear upon the patentability or the properties of the intermediate. The affidavit does not concern itself with the subject matter "sought to be patented". This is emphasized by the use of the same affidavit to argue the patentability of two different classes of subject matter.

The board, agreeing with the examiner, held, that despite the asserted superiority of appellants' sulfa compound II over the sulfa compound IV of the French patent, the for-

mer is not "the subject matter sought to be patented"[3] in the present case. Continuing, it stated:

> * * * to whatever extent the asserted superiority may be the basis for patentability, appellants' contribution has been recognized by the granting of Patent No. 2,858,309. The compound before us, however, must shine in its own light, not in the reflected glory of another compound.

The board found appellants' argument that the claimed compound I and reference compound III are not homologues to be inconsistent with statements made during the prosecution of both appellants' parent case, as well as in the instant case.[4] The board stated it was clear that the claimed compound I is the next *adjacent homologue* of compound III disclosed by the French patent, within the scope of that term as allegedly defined by decisions of this court, and concluded:

> In any event, and this is the most important aspect to this matter, we are fully satisfied that the claimed

[3] 35 U.S.C. 103 states in part:

> A patent may not be obtained though the invention is not identically disclosed or described as set forth in section 102 of this title, if the differences between the *subject matter sought to be patented* and the prior art are such that the subject matter as a whole would have been obvious at the time the invention was made to a person having ordinary skill in the art to which said subject matter pertains. * * * (Emphasis supplied).

[4] In the prosecution of the instant case, appellants state in their letter of August 29, 1958:

> * * * While the patent may be said to disclose "adjacent homologs" of the claimed compounds, attention is directed to the fact that the sulfonamides formed from the instantly claimed intermediates have been shown to be far superior to sulfonamides formed using the "homologous" methylated pyrazoles of the reference. * * *

compound would be obvious to a person of ordinary skill in this art from knowledge of the compound of the French patent. . . .

We need not decide here whether the compounds in question are properly labeled homologues. It appears to us from the authorities cited by the solicitor and appellants that the term homologue is used by chemists at times in a broad sense, and at other times in a more narrow or strict sense. The name used to designate the relationship between related compounds is not necessarily controlling; it is the closeness of that relationship which is indicative of the obviousness or unobviousness of the new compound. In re Herr, 50 CCPA 705, 304 F.2d 904, 134 USPQ 176. Here the difference is merely the omission of a methyl group from the pyrazole ring. It seems to be well known that a simple pyrazole ring contains no substituents at all. We think those considerations constitute strong evidence that omission of the methyl group from the ring is an obvious variation of the reference compound, thereby establishing a prima facie case of unpatentability of claimed compound I over reference compound III. Hence, if appellants are to prevail, they must overcome the weight of that evidence. Thus, the issue here is whether the affidavit is sufficient to do so or whether the showing therein is too remote.

It is appellants' position that since the only use disclosed for their compound I is as an intermediate for direct production of sulfa compound II, the "best evidence" which may be submitted under the circumstances of this case is a showing of the unexpected property of the sulfa

[1] Standard texts on organic chemistry show pyrazole to be

compound II, as compared to one made from the reference compound III. They contend further that this so-called "best evidence" rule has been embraced by the board in previous decisions, such as Ex parte Parker, 102 USPQ 367, and reaffirmed in Ex parte Brody, 122 USPQ 611, and that the rule which stems from Parker v. Marzall, 92 F. Supp. 736, 86 USPQ 446, was cited by this court with approval in In re Schechter, 40 CCPA 1009, 205 F.2d 185, 98 USPQ 144. However, the solicitor argues, and we agree, that In re Finley, 36 CCPA 998, 174 F.2d 130, 81 USPQ 383, is more in point, and that the standard set forth there requires the verified showing to "relate to the utility of the compound actually being claimed instead of some derivative thereof," and that "if the claimed compound itself is not tested to show superior properties, an explanation as to why it is necessary to test a derivative should be made of record." Appellants have not complied with those requirements.

Appellants have made no showing that the claimed intermediate has any unexpected properties, and have given no reason why the compound itself was not tested. We find no reason why the unexpected therapeutic properties of the sulfa drug should necessarily relate back to the intermediate, or why such properties must necessarily be attributable to one of the reactants from which it was prepared. The record shows that the therapeutic properties here belong to the sulfa drug, not to any of the compounds used in its preparation. Appellants' affidavit, therefore, fails to establish that the claimed compound has any unobvious or unexpected property, hence fails to rebut the prima facie showing of obviousness over the prior art.

The decision is *affirmed*.

SMITH, Judge, dissenting.

I do not agree with what seems to be the underlying concept of the majority opinion. The statement is made in

the opinion that "Appellants have made no showing that the claimed intermediate has any unexpected properties * * *." The majority disposes of the Neipp affidavit since it shows the results of tests of a sulfa drug made from the compound claimed in rejected claim 11 when compared with a sulfa drug made from the compound of the French reference patent.

The majority states:

> * * * We find no reason why the unexpected therapeutic properties of the sulfa drug should necessarily relate back to the intermediate, or why such properties must necessarily be attributable to one of the reactants from which it was prepared. The record shows that the therapeutic properties here belong to the sulfa drug, not to any of the compounds used in its preparation. * * *

The examiner's answer in reference to the compound of appealed claim 11 states that "The compound's only use is as an intermediate for preparation of sulfa drugs." This being true, it seems to me appellants had no choice but to test the claimed compound in its "only use", i.e., in terms of the sulfa drug prepared therefrom. In my opinion, the Neipp affidavit clearly establishes a result which seems clearly to be an unobvious and unexpected result.

As we stated in In re Viktor Papesch, 50 CCPA 1084, 315 F.2d 381, 137 USPQ 43, 51:

> From the standpoint of patent law, a compound and all of its properties are inseparable; they are one and the same thing. The graphic formulae, the chemical nomenclature, the systems of classification and study such as the concepts of homology, isomerism, etc. are mere symbols by which compounds can be identified, classified, and compared. But a formula is not a com-

pound and while it may serve in a claim to *identify* what is being patented, as the metes and bounds of a deed identify a plot of land, the *thing* that is patented is not the formula but the compound identified by it. And the patentability of the thing does not depend on the similarity of its formula to that of another compound but of the similarity of the former compound to the latter. There is no basis in law for ignoring any property in making such a comparison. An assumed similarity based on a comparison of formulae must give way to evidence that the assumption is erroneous.

No useful purpose is here served by reviewing what I think are the pertinent cases so thoroughly treated by Judge Rich in the Papesch opinion. Of these cases Packer v. Marzall, 92 F. Supp. 736, 86 USPQ 446, seems to me to be very pertinent as applied to the present facts. There evidence of an unobvious advantage was found in the yellow azo dyes made from the claimed intermediates. Despite the fact, as stated by the board, that the decision was rendered "before the enactment of the statutory standard set forth in 35 U.S.C. 103", it seems to me to express a proper and workable view as to the evidence admissable for showing unexpected properties in a chemical compound.

In my opinion, the best evidence of the properties of the compound claimed here is to be found by testing the product made by that compound. I can conceive of no more convincing proof of unexpected and unobvious properties of the claimed intermediate than to show those unexpected and unobvious properties of the sulfa drug made therefrom.

I would therefore reverse the decision of the board.